

```
ring nodes:

1 2 3 4 5 10 11 12 13 14 15 21 22 23 24 25 26

chain bonds:

2-7 4-8 5-17 10-16 11-31 12-30 13-17 14-32 15-33 16-18 18-19 19-20

ring bonds:

1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15 21-22 21-26 22-23 23-24 24-25 25-26

exact/norm bonds:

2-3 2-7 3-4 4-8 10-16 11-31 12-30 14-32 15-33

exact bonds:

1-2 1-5 4-5 5-17 13-17 16-18 18-19 19-20

normalized bonds:

10-11 10-15 11-12 12-13 13-14 14-15 21-22 21-26 22-23 23-24 24-25 25-26

isolated ring systems:

containing 1: 10: 21:
```

G1:0,5

G2:F,CH3,OH,NH2,H

Match level:
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:CLASS 8:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *								
NEWS	1.			Web Page URLs for STN Seminar Schedule - N. America								
NEWS	2			"Ask CAS" for self-help around the clock								
NEWS	3	JAN	27	The state of the s								
NEWS	4	JAN	27	A new search aid, the Company Name Thesaurus, available in								
				CA/CAplus								
NEWS	_5	FEB	05	German (DE) application and patent publication number format								
				changes								
NEWS	6	MAR	03	MEDLINE and LMEDLINE reloaded								
NEWS	7	MAR	03	MEDLINE file segment of TOXCENTER reloaded								
NEWS_	8	MAR	03	FRANCEPAT now available on STN								
NEWS	9	MAR	29	Pharmaceutical Substances (PS) now available on STN								
NEWS	10	MAR	29	WPIFV now available on STN								
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NEWS	<u>12</u> .	MAR	29	New monthly current-awareness alert (SDI) frequency in RAPRA								
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT												
				CINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),								
				CURRENT DISCOVER FILE IS DATED 13 APRIL 2004								
-				TN Operating Hours Plus Help Desk Availability								
				neral Internet Information								
				lcome Banner and News Items								
				irect Dial and Telecommunication Network Access to STN								
NEWS	<u>www</u>		CAS	S World Wide Web Site (general information)								

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FILE 'HOME' ENTERED AT 12:12:32 ON 26 APR 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:12:37 ON 26 APR 2004
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STRUCTURE FILE UPDATES: 23 APR 2004 HIGHEST RN 676578-75-9 DICTIONARY FILE UPDATES: 23 APR 2004 HIGHEST RN 676578-75-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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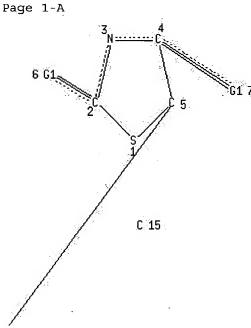
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> L1 STRUCTURE UPLOADED

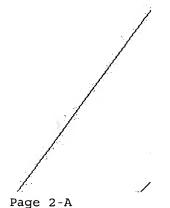
=> d 11 L1 HAS NO ANSWERS

L1 STR

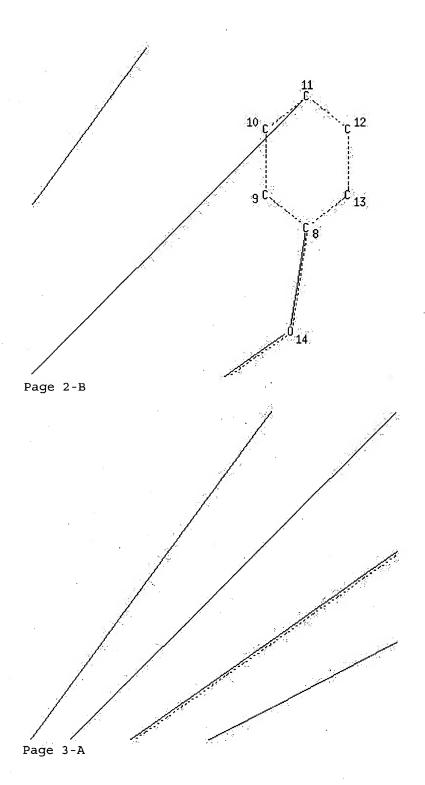
0 27 S 28

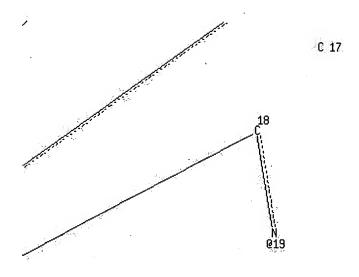


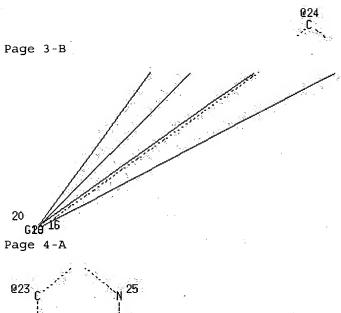
Page 1-B



http://stnweb.cas.org/cgi-bin/sdcgi?SID=337029-1968063789-200&APP=stnweb&







22 C 26
C 21
Page 4-B

NSPEC

NSPEC

NSPEC

VAR G1=27/28 REP G19=(0-2) 17-14 17-18 REP G20=(1-2) 15-5 15-11 VPA 19-23/24 S NODE ATTRIBUTES: NSPEC IS R ΑT 1 NSPEC IS R ΑT 2 IS R NSPEC ΑT 3

ΑT

AT

AT

4

5 .

IS R

IS R

IS C

```
NSPEC
       IS R
                 AT
                      8
NSPEC IS R
                AT
                      9
NSPEC IS R
                 AT 10
NSPEC IS R
NSPEC IS R
                AT 12
NSPEC
     IS R
                AT
                    13
NSPEC
       IS C
                AT
                     14
NSPEC
      IS C
                AT
                     15
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               AT 16
NSPEC
NSPEC IS C
               AT 17
NSPEC IS C
               AT 18
NSPEC IS C
                AT 19
NSPEC
     IS C
                AT 20
NSPEC
       IS R
                 AΤ
                     21
       IS R
                 \mathtt{AT}
NSPEC
NSPEC IS R
                 AT 23
NSPEC IS R
                 AT 24
NSPEC
      IS R
                 AT 25
NSPEC
      IS R
                 AT
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 14 15 17 18 19 27 28
DEFAULT ECLEVEL IS LIMITED
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 28
STEREO ATTRIBUTES: NONE
=> s 11
SAMPLE SEARCH INITIATED 12:16:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE
                      6 ITERATIONS
                                                            5 ANSWERS
100.0% PROCESSED
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                       BATCH
                             **COMPLETE**
PROJECTED ITERATIONS:
                               6 TO · 266
PROJECTED ANSWERS:
                              5 TO
            5 SEA SSS SAM L1
=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y
FULL SEARCH INITIATED 12:16:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 184 TO ITERATE
100.0% PROCESSED
                    184 ITERATIONS
                                                          115 ANSWERS
SEARCH TIME: 00.00.01
         115 SEA SSS FUL L1
L3
=> file hcaplus
COST IN U.S. DOLLARS
                                               SINCE FILE
                                                              TOTAL
                                                            SESSION
                                                   ENTRY
                                                   157.94
FULL ESTIMATED COST
                                                            158.15
```

NSPEC

IS C

AΤ

FILE 'HCAPLUS' ENTERED AT 12:16:42 ON 26 APR 2004
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FILE COVERS 1907 - 26 Apr 2004 VOL 140 ISS 18 FILE LAST UPDATED: 25 Apr 2004 (20040425/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 892 L3

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 2.36 160.51

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:17:12 ON 26 APR 2004
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STRUCTURE FILE UPDATES: 23 APR 2004 HIGHEST RN 676578-75-9 DICTIONARY FILE UPDATES: 23 APR 2004 HIGHEST RN 676578-75-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP_PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

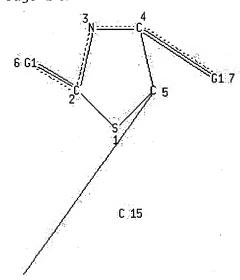
=> L5 STRUCTURE UPLOADED

=> 15 L5 IS NOT A RECOGNIZED COMMAND The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

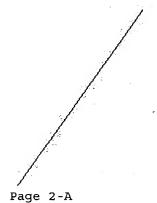
=> d 15 L5 HAS NO ANSWERS L5 STR

F 36 C B3 O B8 N M2

0 33 S 34 Page 1-A



Page 1-B



modulator. A method of treating symptoms related to type II diabetes wherein said symptoms are selected from the group consisting of hyperglycemia, hyperinsulinemia, inadequate, glucose clearance, obesity, hypertension and high glucocorticoid levels in a mammal comprising administering a therapeutically effective amt. of a compd. of title compds. A method of treating diseases assocd. with an excess or deficiency of glucocorticoids, said diseases selected from the group consisting of diabetes, obesity, Syndrome X, Cushing's Syndrome, Addison's disease, inflammatory diseases such as asthma, rhinitis and arthritis, allergy, autoimmune disease, immunodeficiency, anorexia, cachexia, bone loss or bone frailty, and wound healing comprising administering a therapeutically effective amt. of a compd. of title compds.

IT 122320-73-4, Rosiglitazone

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of substituted aminobenzene derivs. as glucocorticoid receptor modulators)

RN 122320-73-4 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]met hyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A



REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2002 ACS

2

Full Citing
Text References

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

2001:904164 HCAPLUS

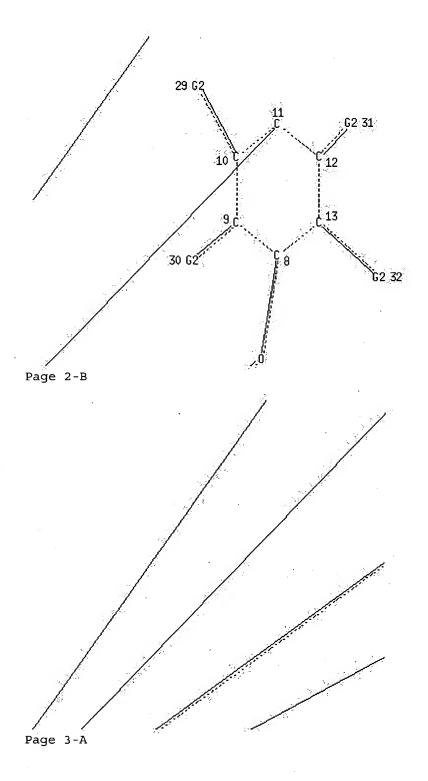
136:20065

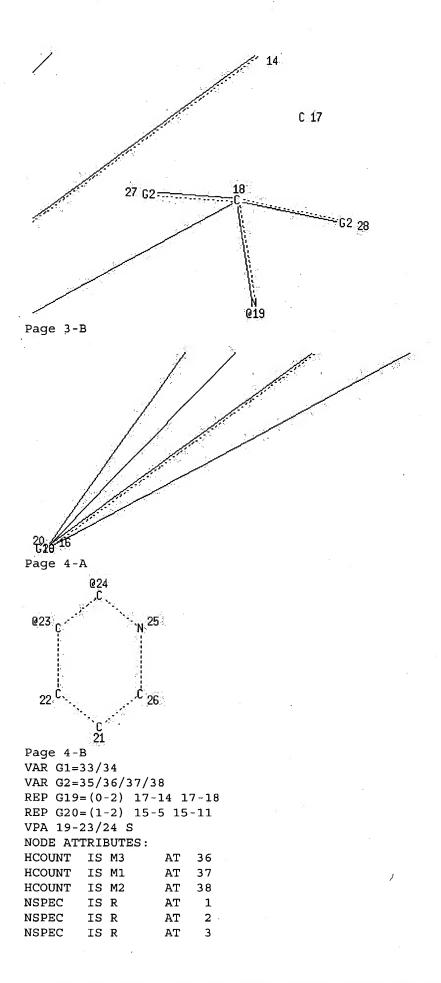
5-[4-[2-(Methyl-2-pyridylamino)ethoxy]benzyl]thiazolid

ine-2,4-dione hydriodide as pharmaceutical

Craig, Andrew Simon; Ho, Tim Chien Ting; Millan,

Michael John





```
NSPEC
       IS R
                  AT
                       5
NSPEC
       IS C
                  AT
NSPEC
       IS C
                  AΤ
                      7
NSPEC
       IS R
                  AT
NSPEC
       IS R
                  AΤ
                       9
NSPEC
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                  ΑT
                      10
NSPEC
        IS R
                  AT
                      11
NSPEC
       IS R
                  ΑT
                      12
NSPEC
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                  AT
                      13
NSPEC IS C.
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NSPEC IS C
                      15
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                  AT
                      16
NSPEC
       IS C
                  AT
                      17
       IS C
NSPEC
                  AT
                      18
NSPEC
       IS C
                  ΑT
                      19
NSPEC
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                  AT
                      20
NSPEC
       IS R
                  AT
                     21
NSPEC
       IS R
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NSPEC
                  AT
                      23
NSPEC
       IS R
                  AT
                     24
NSPEC
       IS R
                      25
                  AT
NSPEC
       IS R
                  AT
                      26
NSPEC IS C
                 AT
                     27
NSPEC IS C
                  AT
                     28
NSPEC IS C
                  AT
                     29
NSPEC
       IS C
                  AT
                      30
NSPEC
       IS C
                  AΤ
                      31
       IS C
NSPEC
                  AT
                      32
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 14 15 17 18 19 33 34 35 36 37 38
DEFAULT ECLEVEL IS LIMITED
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS
STEREO ATTRIBUTES: NONE
=> s 15
SAMPLE SEARCH INITIATED 12:20:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -
                                      6 TO ITERATE
100.0% PROCESSED
                       6 ITERATIONS
                                                               0 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH
                                **COMPLETE**
PROJECTED ITERATIONS:
                                 6 TO
                                           266
PROJECTED ANSWERS:
                                 0 TO
                                             0
L6
              O SEA SSS SAM L5
=> s 15 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS'155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y
FULL SEARCH INITIATED 12:20:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 184 TO ITERATE
```

NSPEC

IS R

100.0% PROCESSED SEARCH TIME: 00.00.02

184 ITERATIONS

0 ANSWERS

L7

O SEA SSS FUL L5

=> L8

STRUCTURE UPLOADED

=> d 18

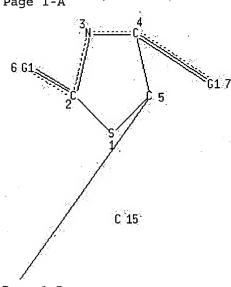
L8 HAS NO ANSWERS

STR

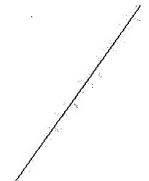
F 36 C B3 O B8 N M2 H 39

0.33 S.34

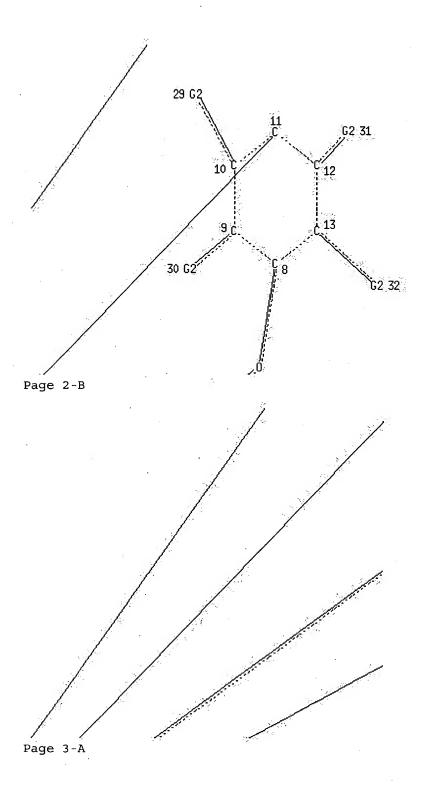
Page 1-A

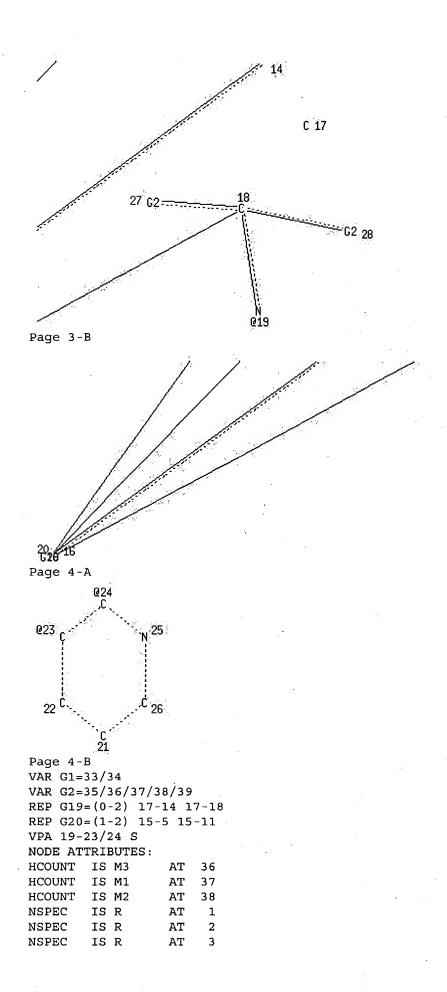


Page 1-B



Page 2-A





```
NSPEC IS R
                 AT
NSPEC IS C
NSPEC IS C
                 AT
                      7
NSPEC
       IS R
                 ΑT
                      8
       IS R
                     9
NSPEC
                 AT
NSPEC
       IS R
                 AT
                     10
NSPEC
       IS R
                 AT
                     11
NSPEC IS R
                 AT 12
NSPEC
       IS R
                 AT 13
NSPEC
       IS C
                AT 14
       IS C
NSPEC
                 AT 15
NSPEC
       IS C
                     16
                 AT
NSPEC
       IS C
                 AT
                     17
NSPEC
       IS C
                 AT
                    18
NSPEC
     IS C
                 AT 19
       IS C
NSPEC
                 AT 20
NSPEC
       IS R
                     21
                 AT 22
       IS R
NSPEC
NSPEC
       IS R
                 AT
                     23
NSPEC
       IS R
                 AΤ
                     24
NSPEC
                 AT 25
       IS R
NSPEC IS R
               AT 26
NSPEC IS C
               AT 27
NSPEC IS C
               AT 28
NSPEC IS C
                AT 29
       IS C
NSPEC
                 AT
                     30
NSPEC
       IS C
                 AT
                     31
       IS C
                 ΑT
NSPEC
                     32
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 14 15 17 18 19 33 34 35 36 37 38 39
DEFAULT ECLEVEL IS LIMITED
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 39
STEREO ATTRIBUTES: NONE
=> s 18
SAMPLE SEARCH INITIATED 12:21:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE
100.0% PROCESSED
                      6 ITERATIONS
                                                            4 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                       BATCH
                              **COMPLETE**
PROJECTED ITERATIONS:
                               6 TO
                                         266
PROJECTED ANSWERS:
                               4 TO
                                         200
L9
             4 SEA SSS SAM L8
=> s 18 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y
FULL SEARCH INITIATED 12:21:21 FILE 'REGISTRY'
```

NSPEC

IS R

AT

FULL SCREEN SEARCH COMPLETED - 184 TO ITERATE

100.0% PROCESSED 184 ITERATIONS SEARCH TIME: 00.00.01

103 ANSWERS

T.10

103 SEA SSS FUL L8

=> file hcaplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL

FULL ESTIMATED COST

ENTRY SESSION 312.94 473.45

FILE 'HCAPLUS' ENTERED AT 12:21:24 ON 26 APR 2004
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FILE COVERS 1907 - 26 Apr 2004 VOL 140 ISS 18 FILE LAST UPDATED: 25 Apr 2004 (20040425/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 110

L11 892 L10

=>

L12 STRUCTURE UPLOADED

=> d 112

L12 HAS NO ANSWERS

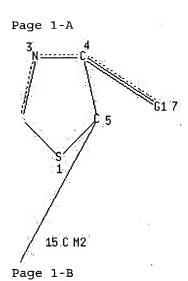
L12

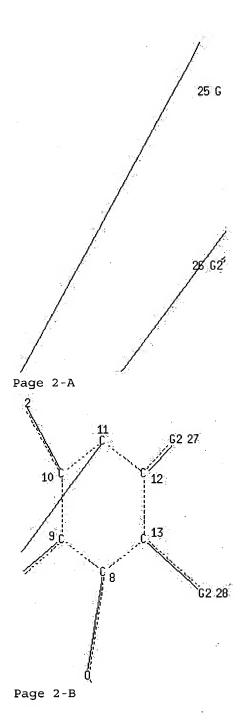
STR

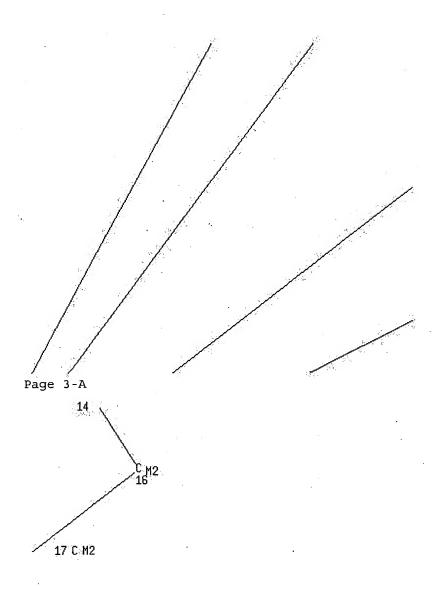
F 38 C 89 O 86 N M2 H 37

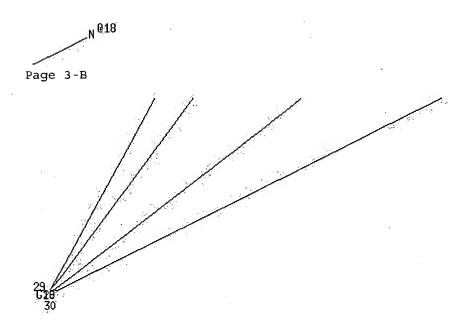
0 31 S 32











```
Page 4-A
  20
Page 4-B
VAR G1=31/32
VAR G2=33/34/35/36/37
REP G19=(0-2) 17-16 17-18
REP G20=(1-2) 15-5 15-11
VPA 18-21/22 S
NODE ATTRIBUTES:
HCOUNT
         IS M2
                    AT
                         15
HCOUNT
         IS M2
                    ΑT
                         16
HCOUNT
         IS M2
                    AT
                         17
HCOUNT
         IS M3
                    ΑT
                         34
HCOUNT
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HCOUNT
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                    ΑT
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                          2
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NSPEC
         IS R
                    AT
                          3
NSPEC
         IS R
                          4
                    AT
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NSPEC
                    AT
                          5
NSPEC
         IS C
                    AT
                          6
         IS C
                    AT
                          7
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NSPEC
         IS R
                    ΑT
                          8
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         IS R
                    AT
                          9
                        10
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         IS R
                    AT
NSPEC
         IS R
                    AT
                         11
NSPEC
         IS R
                    ΑT
                         12
NSPEC
         IS R
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         IS C
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                         14
         IS C
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                    AT
                         15
         IS C
NSPEC
                    ΑT
                         16
         IS C
NSPEC
                    AT
                         17
NSPEC
         IS C
                    AT
                         18
         IS R
NSPEC
                         19
                    AT
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                         25
NSPEC
         IS C
                    ΑT
                         26
         IS C
NSPEC
                    AT
                         27
NSPEC
         IS C
                    AT
                         28
NSPEC
         IS C
                    AT
                         29
NSPEC
         IS C
                    AΤ
                         30
DEFAULT MLEVEL IS
                    MOTA
                         14 15 16 17 18 31 32 33 34 35 36 37
MLEVEL
         IS CLASS
                    ΑT
```

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE

=> s 112

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 12:23:46 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED

6 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

6 TO 266

PROJECTED ANSWERS:

4 TO 200

L13

4 SEA SSS SAM L12

L14

4 L13

=>

L15

STRUCTURE UPLOADED

=> d 115

L15 HAS NO ANSWERS

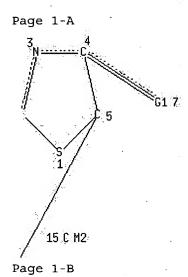
L15

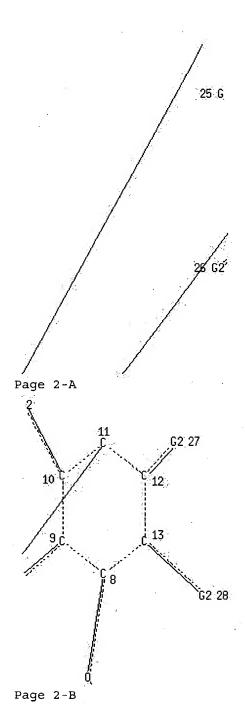
STR

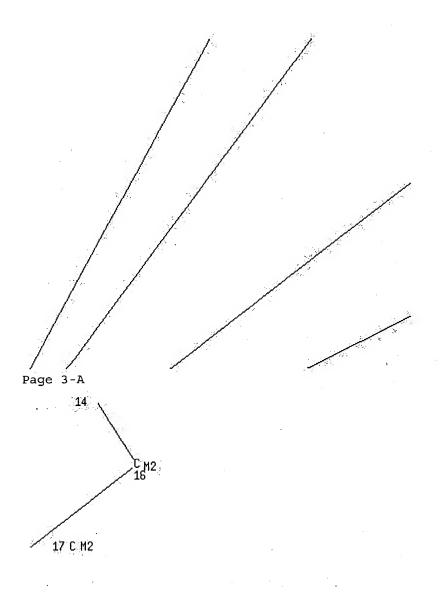
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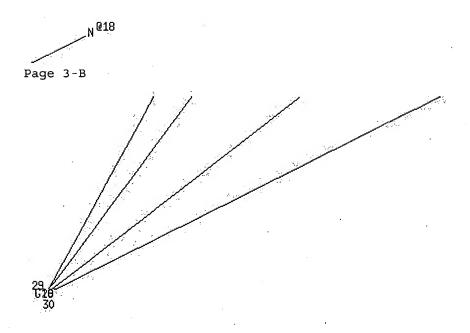
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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE

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REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 12:24:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED

6 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

BATCH

COMPLETE

PROJECTED ITERATIONS:

6 TO 266

PROJECTED ANSWERS:

4 770

4 TO 200

L16

4 SEA SSS SAM L15

L17 4 L16

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 7.08 SESSION 493.17

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:25:51 ON 26 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 APR 2004 HIGHEST RN 676578-75-9 DICTIONARY FILE UPDATES: 23 APR 2004 HIGHEST RN 676578-75-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter <u>HELP PROP</u> at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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STRUCTURE UPLOADED

=> d 118

L18 HAS NO ANSWERS

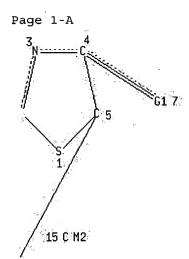
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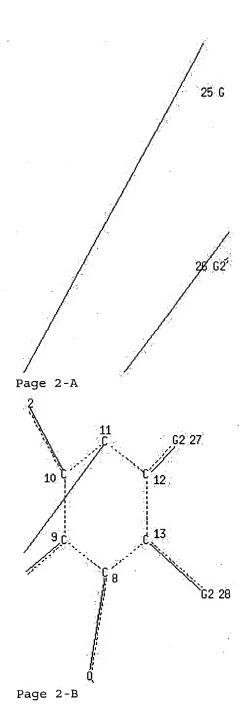
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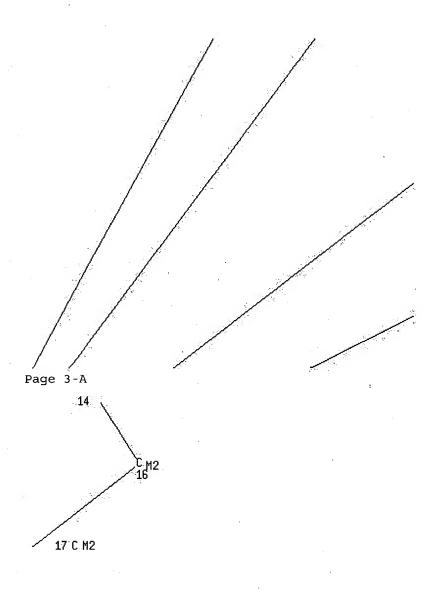
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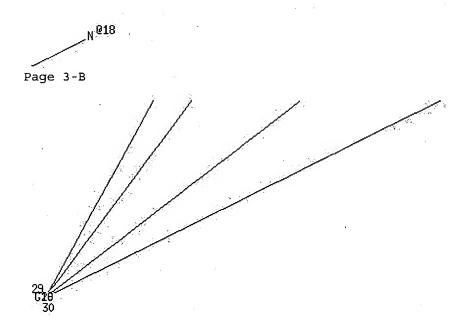




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GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 37.

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED

6 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH

COMPLETE

6 TO 266

PROJECTED ITERATIONS:

PROJECTED ANSWERS:

4 TO 200

L19

4 SEA SSS SAM L18

=> s 118 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:Y FULL SEARCH INITIATED 12:26:15 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 178 TO ITERATE

100.0% PROCESSED

178 ITERATIONS

101 ANSWERS

SEARCH TIME: 00.00.01

L20

101 SEA SSS FUL L18

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 155.42

SESSION 648.59

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 12:26:18 ON 26 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 26 Apr 2004 VOL 140 ISS 18 FILE LAST UPDATED: 25 Apr 2004 (20040425/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L22 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
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2000:772629 HCAPLUS

ACCESSION NUMBER:

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DOCUMENT NUMBER:
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                         Therapeutic action and properties of a polymorphic
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                         form of 5-[4-[2-(N-methyl-N-(2-
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                         maleic acid salt
                         Blackler, Paul David James; Browne, Christine Marie;
INVENTOR(S):
                         Coakley, Timothy G.; Giles, Robert Gordon; Morrissey,
                         Gillian
                         SmithKline Beecham PLC, UK; SmithKline Beecham (Cork)
PATENT ASSIGNEE(S):
                         Limited
SOURCE:
                         PCT Int. Appl., 21 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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GB 1999-12196

EP 2000-920892

A 19990525 A3 20000419

W 20000419

compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT <u>155141-29-0</u>

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidiabetic action and properties of polymorphic form of

[[(N-methyl-N-(pyridyl)amino)ethoxy]benzyl]thiazolidinedione maleate)

RN 155141-29-0 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN <u>122320-73-4</u> CMF C18 H19 N3 O3 S

PAGE 1-A

PAGE 2-A



CM 2

CRN <u>110-16-7</u> CMF C4 H4 O4

Double bond geometry as shown.

H0 2C Z CO 2H

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References

ACCESSION NUMBER:

2000:772627 HCAPLUS

DOCUMENT NUMBER:

133:340314

TITLE:

Therapeutic action and properties of a polymorphic

form of 5-[4-[2-(N-methyl-N-(2-

pyridyl) amino) ethoxy] benzyl] thiazolidine-2, 4-dione,

maleic acid salt

INVENTOR(S):

Blackler, Paul David James; Giles, Robert Gordon;

Moore, Stephen; Sasse, Michael John

PATENT ASSIGNEE(S):

SmithKline Beecham PLC, UK PCT Int. Appl., 19 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

10030817

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											_			1999				
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\mathbf{AB}	A poly	morph	ic f	orm	of 5	- [4 -	[2-(N-me	thyl	-N-(2-py	ridy.	ı)am	uno)	etho	xy]b	enzyı	

AB A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]t hiazolidine-2,4-dione, maleic acid salt (the "Polymorph") characterized in that it provides: (i) an infra red spectrum contg. peaks at 1752, 1546, 1154, 621, and 602 cm⁻¹; and/or (ii) a Raman spectrum contg. peaks at 1751, 1243 and 602 cm⁻¹; and/or (iii) a solid-state NMR spectrum contg. peaks at 111.9, 114.8, 119.6, 129.2, 134.0, 138.0, 144.7, 153.2, 157.1, 170.7, 172.0 and 175.0 ppm; and/or (iv) an x-ray powder diffraction (XRPD) pattern which gives calcd. lattice spacings of 6.46, 5.39, 4.83, 4.68, 3.71, 3.63, 3.58, and 3.48 Angstroms; a process for prepg. such a compd.,

a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT 168553-12-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidiabetic action of polymorphic form of [[(N-methyl-N(pyridyl)amino)ethoxy]benzyl]thiazolidinedione maleate)

RN 168553-12-6 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]met hyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN <u>122320-73-4</u> CMF C18 H19 N3 O3 S

PAGE 1-A

PAGE 2-A

CM 2

CRN <u>110-16-7</u> CMF C4 H4 O4

Double bond geometry as shown.

Cuse 20388)

L22 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Citing Full Text References

ACCESSION NUMBER:

2000:772626 HCAPLUS 133:340313

DOCUMENT NUMBER: TITLE:

Therapeutic action and properties of a polymorphic

form of 5-[4-[2-(N-methyl-N-(2-

pyridyl) amino) ethoxy] benzyl] thiazolidine-2, 4-dione,

maleic acid salt

INVENTOR(S):

Blackler, Paul David James; Giles, Robert Gordon;

Sasse, Michael John

PATENT ASSIGNEE(S):

SmithKline Beecham P.L.C., UK

SOURCE:

PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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	AT 2476	•	•	Ē		2003					00-9	2088	9	2000	0419		
	AU 7654			В:	2	2003	0918		A	U 20	00-4	1306		2000	0419		
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	HR 2001					2002				R 20				2001	1022		
	ZA 2001					2002	0911		\overline{z}	A 20	01-8			2001	1023		
	BG 1061		_	Α		2002			_				9	2001	1120		
PRIO	RITY APP													1999			
									GB 1					1999			
									EP 2					2000	0419		
									WO 2				W	2000	0419		

A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]t AB. hiazolidine-2,4-dione, maleic acid salt (the "Polymorph") characterized in that it: (i) provides an IR spectrum contg. peaks at 1360, 1326, 1241, 714 and 669 cm⁻¹; and/or (ii) provides a Raman spectrum contg. peaks at 1581, 768, 670, 271 and 226 cm⁻¹; and/or (iii) provides a solid-state NMR spectrum contg. peaks at chem. shifts substantially; and/or (iv) provides an x-ray powder diffraction (XRPD) pattern contg. peaks; a process for

prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT 168553-12-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidiabetic action of polymorphic form of [[(N-methyl-N-(pyridyl)amino)ethoxy]benzyl]thiazolidinedione maleate)

RN 168553-12-6 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN <u>122320-73-4</u> CMF C18 H19 N3 O3 S

PAGE 1-A

DACE 2-A

CM 2

CRN <u>110-16-7</u> CMF C4 H4 O4

Double bond geometry as shown.

US App 1003087

L22 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text

ACCESSION NUMBER:

2000:756704 HCAPLUS

DOCUMENT NUMBER:

133:325652

TITLE:

5-[4-[2-(N-Methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thi

azolidine-2,4-dione hydrochloride monohydrate

pharmaceutical

INVENTOR(S):

Blackler, Paul David James; Craig, Andrew Simon;

Giles, Robert Gordon; Sasse, Michael John

PATENT ASSIGNEE(S):

Smithkline Beecham P.L.C., UK PCT Int. Appl., 15 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA				KIND DATE													
WO									WO 2000-GB1527 20000419								
WO	2000	0632	06	` A	3	2001	0222										
	W:	AE,	AL,	AM;	ΑT,	AU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI	, GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,
		IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR	, KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,
		MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO	, NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,
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	RW:									, TZ,							
										', LU,				SE,	BF,	ВJ,	CF,
										, NE,							
EP	1173	437		A.	2	2002	0123			EP 20	00-9	2089!	<u>5</u>	2000	0419		
EP	1173437			В	1	2004	0324										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB	, GR,	IT,	LI,	LU,	ΝL,	SE,	MC,	PT,
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BR	2000	0098	98	A 20020416						BR 20	00-9	<u>898</u>		2000	0419		
JP	2002	5422	43	T2 20021210					<u>JP 2000-612296</u> 20000419								
NZ	5151	<u>64</u>		A 20040227													
EP				A1 20040421													
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB	GR,	IT,	LI,	LŲ,	NL,	SE,	MC,	PT,
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	2001									NO 20							
HR	2001	0007	71	Α	1	2002	1231			HR 20	01-7			2001			
ZA	2001																
BG	1061	20		A		2002	0531			BG 20	01-1	0612	<u>0</u>	2001	1120		
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					•				EP	2000-	9208	<u>95</u>					
									MO_	2000-	GB15	27	W	2000	0419		
	•																

AB 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione hydrochloride monohydrate (I.HCl.H2O) is characterized in that it: (i) provides an IR spectrum contg. peaks at 3358, 2764, 1245, 833 and 760 cm⁻¹; and/or (ii) provides an XRPD pattern contg. peaks at 15.0, 17.7, 23.0, 30.0 and 31.4 >2<j; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT 303082-83-9P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(5-[4-[2-(N-Methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione hydrochloride monohydrate pharmaceutical)

RN 303082-83-9 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A



HC1

H20

L22 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References

2000:756703 HCAPLUS

133:313615

Novel pharmaceutical thiazolidine derivative

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: INVENTOR(S):

Blackler, Paul David James; Giles, Robert Gordon;

Sasse, Michael John

PATENT ASSIGNEE(S):

Smithkline Beecham P.L.C., UK

SOURCE:

PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO	2000	06320	05	A:					<u>v</u>	10 20	00-G	1_	20000419					
WO	2000			A3 20010125											•			
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			_							KZ,								
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AU	2000	0413	09	A!	5	2000	1102		<u> 7</u>	U 20	00-4	<u> 1309</u>		2000	0419			
EP	1173	436		A:	2	2002	0123		I	EP 20	00-9	2089	3_	2000	0419			
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BR	2000	0098	<u>97</u>	·A		2002	0416		<u> </u>	BR 20	00-9	<u>897</u>		2000	0419			
JP	2002	54224	<u>42</u>	T	2	2002	1210			JP 20	00-6	1229	5	2000	0419			
	5151																	
EP	1411	055		A.	1	2004	0421		<u> </u>	EP 20	03-7	9073		2000	0419			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
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	2001																	
ZA	2001	0087	20	Α		2002	1128		2	ZA 20	01-8	720		2001	1023			
DC	1061	10		7\		2002	ΛE21		E	3G 20	01-1	0611	2	2001	1114			
AU	2002	0275	52	A!	5	2002	0516			W 20				2002				
AU	7659	11		В:	2	2003	1002											
ORIT	Y APP	LN.	INFO	.:					GB 1	1999-	9041		Α	1999	0420			
									AU 2	2000-	4130	9.	A3	2000	0419			
									EP 2	2000-	9208	93	А3	2000	0419			
									WO 2	2000-	GB15:	21	W	2000	0419			
_	[4 [5	/ar .		7 . 3.7	10		٠.٦١		<u>ة م ١ م</u>	. h	lhan	11		14	4450	2 4	4:0	_

AB 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, hydrochloride dihydrate is characterized by: (i) an IR spectrum contg. peaks at 3392, 2739, 1751, 1325 and 713 cm⁻¹, and/or (ii) an X-ray powder diffraction pattern contg. peaks at 9.1, 12.0, 15.7, 16.3 and 19.8°2θ. A process for prepg. this compd., a pharmaceutical compn. contg. such a compd. and its use for the treatment and/or prophylaxis of diabetes mellitus are described.

IT 302543-61-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn., properties, and compns. of antidiabetic thiazolidine deriv. as hydrochloride dihydrate)

RN <u>302543-61-9</u> HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

HC1

2 H₂0

L22 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References
ACCESSION NUMBER:

1999:404959 HCAPLUS

DOCUMENT NUMBER:

131:58818

TITLE:

Preparation of a thiazolidinedione derivative as hydrate for prophylaxis or treatment of diabetes Blackler, Paul David James; Lee, David C.; Sasse,

INVENTOR(S):

ichael John

Michael John

PATENT ASSIGNEE(S):

Smithkline Beecham Plc, UK

SOURCE:

PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

Engits

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9931095 A1 19990624 WO 1998-EP8155 19981214

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

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             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
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                             20000615
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                             20010330
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                                                            . 20000713
     BG 104603
     US 2002137940
                                            US 2002-82879
                                                              20020226
                       A1
                             20020926
                                            US 2002-321055
                                                              20021217
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        2003120078
                       Α1
                             20030626
PRIORITY APPLN. INFO .:
                                         GB 1997-26566
                                                          ` A
                                                              19971216
                                         WO 1998-EP8155
                                                           W 19981214
                                         US 2000-581826
                                                           B1 20000616
                                         US 2002-82879
                                                           B1 20020226
AB
     Prepn. of a hydrate of 5-[4-[2-(N-methyl-N-(2-
```

Prepn. of a hydrate of 5-[4-[2-(N-methy1-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione maleate (I) for prophylaxis and/or treatment of diabetes mellitus and conditions assocd. with it is described. The compd. comprises water in the range of 0.4-2.5% wt./wt. and provides a specific IR spectrum, an X-ray powder diffraction pattern, a Raman spectrum, and/or a solid-state NMR spectrum. I with the water content of 0.54% wt./wt. was prepd-from 6 g of the I free base and 2.1 g maleic acid salt by heating in MeOH to 55° to obtain a soln.; the soln. was filtered, reheated at 55°, and then cooled to 0-5° and stirred. The product was filtered and dried at 52° in vacuo to give I in 84% yield (6.7 g).

IT 227606-02-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of thiazolidinedione deriv. as hydrate for prophylaxis or treatment of diabetes)

RN 227606-02-2 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (1:1), hydrate (9CI) (CA INDEX NAME)

CM 1

CRN <u>122320-73-4</u> CMF C18 H19 N3 O3 S

PAGE 1-A

PAGE 2-A

CM'

CRN <u>110-16-7</u> CMF C4 H4 O4

Double bond geometry as shown.

H0 2C Z C0 2H

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1999

1999:404958 HCAPLUS

131:63474

DOCUMENT NUMBER: TITLE:

Hydrate of 5-[4-[2-[N-methyl-N-(2-

pyridyl) amino] ethoxy] benzyl] thiazolidine-2,4-dione

maleic acid salt

INVENTOR(S):

Blackler, Paul David James; Lee, David C.; Sasse,

Michael John

PATENT ASSIGNEE(S):

Smithkline Beecham PLC, UK

SOURCE:

PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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KIND DATE
                                        APPLICATION NO.
    PATENT NO.
                                                           DATE
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                           19990624
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            KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
            MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
            TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
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                                          NO 2000-3068
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                                          BG 2000-104595
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    BG 104595
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                          20010228
                                          US 2002-72096
    US 2002099081
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    US 6664278
                           20031216
PRIORITY APPLN. INFO.:
                                       GB 1997-26568
                                                        A 19971216
                                       WO 1998-EP8154
                                                        W 19981214
                                       US 2000-581719
                                                       A1 20000616
AB
```

AB A hydrate of the title compd. is prepd. which is useful in treatment and/or prophylaxis of diabetes mellitus and its complications and assocd. conditions such as insulin resistance, impaired glucose tolerance, hyperinsulinemia, obesity, and gestational diabetes, and is particularly suitable for bulk prepn. and handling. The hydrate is characterized by a water content of 0.2-1.1 wt.% and by its IR spectrum and x-ray powder diffraction pattern. The hydrate is prepd. by crystn. from an aq. alkanol, preferably contg. 2.0-2.5 vol.% water.

IT 227606-02-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hydrate of antidiabetic thiazolidinedione deriv.)

RN 227606-02-2 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (1:1), hydrate (9CI) (CA INDEX NAME)

CM 1

CRN <u>122320-73-4</u> CMF C18 H19 N3 O3 S

PAGE 1-A

PAGE 2-A

J

CM 2

CRN <u>110-16-7</u> CMF <u>C4 H4 O4</u>

Double bond geometry as shown.

H0 2C Z CO 2H

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

2

Text References
ACCESSION NUMBER:

2000:772629 HCAPLUS

DOCUMENT NUMBER: 133:340315

TITLE: Therapeutic action and properties of a polymorphic

form of 5-[4-[2-(N-methyl-N-(2-

pyridyl) amino) ethoxy] benzyl] thiazolidine-2, 4-dione,

maleic acid salt

INVENTOR(S): Blackler, Paul David James; Browne, Christine Marie;

Coakley, Timothy G.; Giles, Robert Gordon; Morrissey,

Gillian

PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK; SmithKline Beecham (Cork)

Limited

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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DATE
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    WO 2000064896
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            IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
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AB A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]t hiazolidine-2, 4-dione, maleic acid salt (the "Polymorph") characterized in that it provides: (i) an IR spectrum contg. peaks at 1763, 912, 856 and 709 cm⁻¹; and/or (ii) a Raman spectrum contg. peaks at 1762, 1284, 912 and 888 cm⁻¹; and/or (iii) a solid-state 13C NMR spectrum contg. peaks at 111.0, 113.6, 119.8, 129.1, 130.9, 131.8, 134.7, 138.7, 146.5, 152.7, 157.5, 169.5, 171.0, 178.7 ppm; and/or (iv) an x-ray powder diffraction (XRPD) pattern which gives calcd. lattice spacings at 5.87, 5.30, 4.69, 4.09, 3.88, 3.61, 3.53 and 3.46 Angstroms; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT 155141-29-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidiabetic action and properties of polymorphic form of
[[(N-methyl-N-(pyridyl)amino)ethoxy]benzyl]thiazolidinedione maleate)

RN <u>155141-29-0</u> HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]met hyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN <u>122320-73-4</u> CMF C18 H19 N3 O3 S

PAGE 1-A

PAGE 2-A

CM 2

CRN <u>110-16-7</u> CMF <u>C4 H4 O4</u>

Double bond geometry as shown.

HO 2C Z

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References

ACCESSION NUMBER:

2000:772627 HCAPLUS

DOCUMENT NUMBER:

133:340314

TITLE:

Therapeutic action and properties of a polymorphic

form of 5-[4-[2-(N-methyl-N-(2-

pyridyl) amino) ethoxy] benzyl] thiazolidine-2, 4-dione,

maleic acid salt

INVENTOR(S):

Blackler, Paul David James; Giles, Robert Gordon;

Moore, Stephen; Sasse, Michael John

PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK

SOURCE:

PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PRIORITY APPLN. INFO.:
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                                                         A3 20000419
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AB A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]t hiazolidine-2,4-dione, maleic acid salt (the "Polymorph") characterized in that it provides: (i) an infra red spectrum contg. peaks at 1752, 1546, 1154, 621, and 602 cm⁻¹; and/or (ii) a Raman spectrum contg. peaks at 1751, 1243 and 602 cm⁻¹; and/or (iii) a solid-state NMR spectrum contg. peaks at 111.9, 114.8, 119.6, 129.2, 134.0, 138.0, 144.7, 153.2, 157.1, 170.7, 172.0 and 175.0 ppm; and/or (iv) an x-ray powder diffraction (XRPD) pattern which gives calcd. lattice spacings of 6.46, 5.39, 4.83, 4.68, 3.71, 3.63, 3.58, and 3.48 Angstroms; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT 168553-12-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidiabetic action of polymorphic form of [[(N-methyl-N(pyridyl)amino)ethoxy]benzyl]thiazolidinedione maleate)

RN 168553-12-6 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN <u>122320-73-4</u> CMF C18 H19 N3 O3 S

PAGE 1-A

PAGE 2-A



CM 2

CRN <u>110-16-7</u> CMF C4 H4 O4

Double bond geometry as shown.

HO 2C Z

L22 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References
ACCESSION NUMBER:

2000:772626 HCAPLUS

DOCUMENT NUMBER:

133:340313

TITLE:

Therapeutic action and properties of a polymorphic

form of 5-[4-[2-(N-methyl-N-(2-

pyridyl) amino) ethoxy] benzyl] thiazolidine-2,4-dione,

maleic acid salt

INVENTOR(S):

Blackler, Paul David James; Giles, Robert Gordon;

Sasse, Michael John

PATENT ASSIGNEE(S):

SmithKline Beecham P.L.C., UK

SOURCE:

PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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AB A polymorphic form of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]t hiazolidine-2,4-dione, maleic acid salt (the "Polymorph") characterized in that it: (i) provides an IR spectrum contg. peaks at 1360, 1326, 1241, 714 and 669 cm⁻¹; and/or (ii) provides a Raman spectrum contg. peaks at 1581, 768, 670, 271 and 226 cm⁻¹; and/or (iii) provides a solid-state NMR spectrum contg. peaks at chem. shifts substantially; and/or (iv) provides an x-ray powder diffraction (XRPD) pattern contg. peaks; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT 168553-12-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidiabetic action of polymorphic form of [[(N-methyl-N-(pyridyl)amino)ethoxy]benzyl]thiazolidinedione maleate)

RN <u>168553-12-6</u> HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 122320-73-4 CMF C18 H19 N3 O3 S

PAGE 1-A

PAGE 2-A



CM 2

CRN <u>110-16-7</u> CMF C4 H4 O4

Double bond geometry as shown.

H0 2C Z CO 2H

L22 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References
ACCESSION NUMBER:

2000:756704 HCAPLUS

DOCUMENT NUMBER: 133:325652

TITLE: 5-[4-[2-(N-Methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thi

azolidine-2,4-dione hydrochloride monohydrate

pharmaceutical

INVENTOR(S): Blackler, Paul David James; Craig, Andrew Simon;

Giles, Robert Gordon; Sasse, Michael John

PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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AB 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione hydrochloride monohydrate (I.HCl.H2O) is characterized in that it: (i) provides an IR spectrum contg. peaks at 3358, 2764, 1245, 833 and 760 cm⁻¹; and/or (ii) provides an XRPD pattern contg. peaks at 15.0, 17.7, 23.0, 30.0 and 31.4 >2<j; a process for prepg. such a compd., a pharmaceutical compn. contg. such a compd. and the use of such a compd. in medicine.

IT 303082-83-9P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(5-[4-[2-(N-Methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione hydrochloride monohydrate pharmaceutical)

RN303082-83-9 HCAPLUS

2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]met CNhyl]-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

HC1

H₂0

HCAPLUS COPYRIGHT 2004 ACS on STN. ANSWER 5 OF 7

ACCESSION NUMBER:

2000:756703 HCAPLUS

DOCUMENT NUMBER:

133:313615

TITLE:

Novel pharmaceutical thiazolidine derivative

INVENTOR(S):

Blackler, Paul David James; Giles, Robert Gordon;

Sasse, Michael John

PATENT ASSIGNEE(S):

Smithkline Beecham P.L.C., UK

SOURCE:

PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE: FAMILY ACC, NUM. COUNT: English

PATENT INFORMATION:

PATENT NO.

KIND DATE APPLICATION NO.

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AB 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione, hydrochloride dihydrate is characterized by: (i) an IR spectrum contg. peaks at 3392, 2739, 1751, 1325 and 713 cm⁻¹, and/or (ii) an X-ray powder diffraction pattern contg. peaks at 9.1, 12.0, 15.7, 16.3 and 19.8°2θ. A process for prepg. this compd., a pharmaceutical compn. contg. such a compd. and its use for the treatment and/or prophylaxis of diabetes mellitus are described.

IT 302543-61-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn., properties, and compns. of antidiabetic thiazolidine deriv. as hydrochloride dihydrate)

RN 302543-61-9 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-P

HC1

2 H₂0

L22 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1999:404959 HCAPLUS

DOCUMENT NUMBER: 131:58818

TITLE: Preparation of a thiazolidinedione derivative as

hydrate for prophylaxis or treatment of diabetes

INVENTOR(S): Blackler, Paul David James; Lee, David C.; Sasse,

Michael John

PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	KIND		DATE			A	PPLI	CATI	ON NO	0.	DATE					
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Prepn. of a hydrate of 5-[4-[2-(N-methyl-N-(2-pyridyl)amino)ethoxy]benzyl]thiazolidine-2,4-dione maleate (I) for prophylaxis and/or treatment of diabetes mellitus and conditions assocd. with it is described. The compd. comprises water in the range of 0.4-2.5% wt./wt. and provides a specific IR spectrum, an X-ray powder diffraction pattern, a Raman spectrum, and/or a solid-state NMR spectrum. I with the water content of 0.54% wt./wt. was prepd. from 6 g of the I free base and 2.1 g maleic acid salt by heating in MeOH to 55° to obtain a soln.; the soln. was filtered, reheated at 55°, and then cooled to 0-5° and stirred. The product was filtered and dried at 52° in vacuo to give I in 84% yield (6.7 g).

IT 227606-02-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of thiazolidinedione deriv. as hydrate for prophylaxis or treatment of diabetes)

RN <u>227606-02-2</u> HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (1:1), hydrate (9CI) (CA INDEX NAME)

CM 1

CRN <u>122320-73-4</u> CMF C18 H19 N3 O3 S

PAGE 1-A

PAGE 2-A

CM 2

CRN <u>110-16-7</u> CMF <u>C4 H4 O4</u>

Double bond geometry as shown.

HO 2C Z CO 2H

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

1999:404958 HCAPLUS

DOCUMENT NUMBER:

131:63474

TITLE:

Hydrate of 5-[4-[2-[N-methy]-N-(2-

pyridyl) amino] ethoxy] benzyl] thiazolidine-2,4-dione

maleic acid salt

INVENTOR(S):

Blackler, Paul David James; Lee, David C.; Sasse,

Michael John

PATENT ASSIGNEE(S):

Smithkline Beecham PLC, UK

SOURCE:

PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

WILLI ACC. NOM. COUNT:

PATENT INFORMATION:

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AB A hydrate of the title compd. is prepd. which is useful in treatment and/or prophylaxis of diabetes mellitus and its complications and assocd. conditions such as insulin resistance, impaired glucose tolerance, hyperinsulinemia, obesity, and gestational diabetes, and is particularly suitable for bulk prepn. and handling. The hydrate is characterized by a water content of 0.2-1.1 wt.% and by its IR spectrum and x-ray powder diffraction pattern. The hydrate is prepd. by crystn. from an aq. alkanol, preferably contg. 2.0-2.5 vol.% water.

IT 227606-02-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hydrate of antidiabetic thiazolidinedione deriv.)

RN 227606-02-2 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-, (2Z)-2-butenedioate (1:1), hydrate (9CI) (CA INDEX NAME)

CM 1

CRN <u>122320-73-4</u> CMF C18 H19 N3 O3 S

PAGE 1-A

PAGE 2-A

CM 2

CRN <u>110-16-7</u> CMF C4 H4 O4

Double bond geometry as shown.

HO 2C Z CO 2H REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 12:12:32 ON 26 APR 2004)

FILE 'REGISTRY' ENTERED AT 12:12:37 ON 26 APR 2004

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FILE 'HCAPLUS' ENTERED AT 12:16:42 ON 26 APR 2004

L4 ·892 S L3

FILE 'REGISTRY' ENTERED AT 12:17:12 ON 26 APR 2004

L5 STRUCTURE UPLOADED

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L7

0 S L5 FULL

CODEN: TETRAB; ISSN: 0040-4020

Elsevier Science Ltd.

Journal

English

OTHER SOURCE(S):

DOCUMENT TYPE:

PUBLISHER:

LANGUAGE:

CASREACT 133:222646

GI

The novel regiospecific and general redn. of 5-benzylidene-2,4-thiazolidinediones and 5-benzylidene-4-oxo-2-thiazolidinethiones to the corresponding 5-benzyl derivs. was accomplished using LiBH4 in pyridine and THF. NaBH4 and LiCl can also be used under these conditions, which represents a cheaper alternative to LiBH4. Thus, redn. of benzylideneoxothiazolidinethione I (R1 = R2 = R3 = H, R4 = Me, X = S) with LiBH4 in THF/pyridine for 5 h afforded the benzyl deriv. in 96% yield.

IT 122320-73-4P

RN 122320-73-4 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]met hyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L25 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN Citing " References ACCESSION NUMBER: 1999:311203 HCAPLUS DOCUMENT NUMBER: 130:313481 TITLE: Process for the preparation of thiazolidinedione derivatives

INVENTOR(S): Giles, Robert Gordon; Lewis, Norman John; Quick,

John Kirby

PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK SOURCE: PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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                          MARPAT 130:313481
OTHER SOURCE(S):
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http://stnweb.cas.org/cgi-bin/sdcgi?SID=337029-1968063789-200&APP=stnweb&

AB Title compds. such as I are prepd. by hydrogenation of their benzylidenethiazolidinone analogs. Thus, 123 kg (Z)-5-[4-[2-(methyl-2-pyridylamino)ethoxy]benzylidene]-2,4-thiazolidinedione in 1232 L glacial HOAc is hydrogenated at 70-80 psi H2 over 10% Pd/charcoal at about 90° to give I in 70-80% yield.

IT 122320-73-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 122320-73-4 HCAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]phenyl]met hyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A



REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

3

Full Citing
Text References
ACCESSION NUMBER:

1998:604911 HCAPLUS

DOCUMENT NUMBER: 129:202936

TITLE:

INVENTOR(S):

Preparation of 5-benzylthiazolidine-2,4-diones. Giles, Robert Gordon; Lewis, Norman John; Moore, Stephen; Pool, Colin Ripley; Quick, John Kirby;

Urquhart, Michael

PATENT ASSIGNEE(S):

Smithkline Beecham PLC, UK

SOURCE:

PCT Int. Appl., 26 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. _____ ______ _____ A1 19980827 WO 1998-EP818 19980213 WO 9837073 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG Α1 19980909 AU 1998-66223 19980213 AU 9866223 EP 1998-908093 19980213 EP 970063 Α1 20000112 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO 19980213 . A 20000314 BR 1998-7395 BR 9807395 NZ 1998-337179 19980213 NZ 337179 Α .20010727 JP 1998-536229 19980213 JP 2001514619 T2 20010911 ZA 1998-1280 19980217 19990817 ZA 9801280 Α IN 1998-DE417 19980218 20020914 IN 188379 Α 19990907 NO 1999-3949 19990817 NO 9903949 Α 19990818 20000228 MX 1999-7656 MX 9907656 Α A1 20020411 US 2001-5686 20011108 US 2002042519 B2 20031014 US 6632947 20020819 19990907 NO 2002-3937 NO 2002003937 Α A 19970218 PRIORITY APPLN. INFO.: GB 1997-3310 GB 1997-3334 A 19970218 GB 1997-3338 A 19970218 W WO 1998-EP818 19980213 US 1999-367757 A1 19990818 CASREACT 129:202936; MARPAT 129:202936 OTHER SOURCE(S):

Title compds. (I; J, T1 = O, S; T = (substituted) aryl) were prepd. by reducing alkenes (II; variables as above) with a complex hydride reducing agent or a source of a complex hydride reducing agent. Thus, 5-[4-[2-[N-methyl-N-(2-pyridyl)amino]ethoxy]benzylidene]-2,4thiazolidinedione was refluxed with Li tri-sec-butylborohydride in THF to qive 79% 5-[4-[2-[N-methyl-N-(2-pyridyl)amino]ethoxy]benzyl]-2,4thiazolidinedione.

IT 122320-73-4P

PAGE 1-A

PAGE 2-A

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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